

WEST[Generate Collection](#)[Print](#)**Search Results - Record(s) 1 through 20 of 25 returned.**☐ 1. Document ID: US 20020161176 A1

L1: Entry 1 of 25

File: PGPB

Oct 31, 2002

PGPUB-DOCUMENT-NUMBER: 20020161176

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20020161176 A1

TITLE: Formation and anion-exchange of crystalline echinocandin ammonium salts

PUBLICATION-DATE: October 31, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Dalder, Brian Weston	West Lafayette	IN	US	
Dotlich, Michael Anthony	Lafayette	IN	US	
Kallman, Neil John	Lafayette	IN	US	
Larsen, Samuel Dean	West Lafayette	IN	US	
Van Den Berghe Snorek, Sharon	Lafayette	IN	US	
Vicenzi, Jeffrey Thomas	Brownsburg	IN	US	

US-CL-CURRENT: 530/317

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC
Draw Desc	Image										

☐ 2. Document ID: US 20020160942 A1

L1: Entry 2 of 25

File: PGPB

Oct 31, 2002

PGPUB-DOCUMENT-NUMBER: 20020160942

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20020160942 A1

TITLE: Echinocandin/carbohydrate complexes

PUBLICATION-DATE: October 31, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Larew, Larry Arnold	Zionsville	IN	US	
Milton, Nathaniel	Indianapolis	IN	US	
Sabatowski, James Lawrence	Holland	MI	US	
Moder, Kenneth Philip	West Lafayette	IN	US	

US-CL-CURRENT: 514/8; 514/23, 514/9, 530/317

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC
Draw Desc	Image										

☐ 3. Document ID: US 20020151474 A1

L1: Entry 3 of 25

File: PGPB

Oct 17, 2002

PGPUB-DOCUMENT-NUMBER: 20020151474
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020151474 A1

TITLE: Processes for making pharmaceutical oral ECB formulations and compositions

PUBLICATION-DATE: October 17, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Schwier, John Richard	Brownsburg	IN	US	
Taylor, Jerry	Indianapolis	IN	US	

US-CL-CURRENT: 514/9; 264/5, 514/23

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC
Draw Desc	Image										

☐ 4. Document ID: US 20020103161 A1

L1: Entry 4 of 25

File: PGPB

Aug 1, 2002

PGPUB-DOCUMENT-NUMBER: 20020103161
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020103161 A1

TITLE: Novel heterocycles

PUBLICATION-DATE: August 1, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Weigele, Manfred	Cambridge	MA	US	
Luke, George P.	Clinton	CT	US	
Sawyer, Tomi K.	Southborough	MA	US	
Bohacek, Regine	Boston	MA	US	
Shakespeare, William C.	Framingham	MA	US	
Sundaramoorthi, Rajeswari	Watertown	MA	US	
Wang, Yihan	Newton	MA	US	
Dalgarno, David C.	Brookline	MA	US	
Metcalf, Chester A. III	Boston	MA	US	
Vu, Chi B.	Arlington	MA	US	
Kawahata, Noriyuki H.	Medford	MA	US	

US-CL-CURRENT: 514/79; 544/232

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

KVMC

☐ 5. Document ID: US 6384013 B1

L1: Entry 5 of 25

File: USPT

May 7, 2002

US-PAT-NO: 6384013

DOCUMENT-IDENTIFIER: US 6384013 B1

TITLE: Cyclic peptide antifungal agents and process for preparation thereof

DATE-ISSUED: May 7, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Burkhardt; Frederick J.	Indianapolis	IN		
Debono; Manuel	Indianapolis	IN		
Nissen; Jeffrey S.	Indianapolis	IN		
Turner, Jr.; William W.	Bloomington	IN		

US-CL-CURRENT: 514/11; 514/2, 514/9, 530/317, 530/329

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

KVMC

☐ 6. Document ID: US 6323176 B1

L1: Entry 6 of 25

File: USPT

Nov 27, 2001

US-PAT-NO: 6323176

DOCUMENT-IDENTIFIER: US 6323176 B1

TITLE: Cyclic peptide antifungal agents

DATE-ISSUED: November 27, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Jamison; James Andrew	Indianapolis	IN		
Rodriguez; Michael John	Indianapolis	IN		
Vasudevan; Venkatraghavan	Indianapolis	IN		

US-CL-CURRENT: 514/7; 514/8, 514/9, 530/317, 530/322

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

KVMC

☐ 7. Document ID: US 6043341 A

L1: Entry 7 of 25

File: USPT

Mar 28, 2000

US-PAT-NO: 6043341

DOCUMENT-IDENTIFIER: US 6043341 A

TITLE: Cyclic peptide antifungal agents

DATE-ISSUED: March 28, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Udodong; Uko Effiong	Indianapolis	IN		
Grutsch, Jr.; John Leo	Indianapolis	IN		
Hansen; Marvin Martin	Indianapolis	IN		
Harkness; Allen Robert	Indianapolis	IN		
Verral, II; Daniel Edward	Clinton	IN		

US-CL-CURRENT: 530/317; 530/345, 558/152

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KWIC
Draw Desc	Image									

☐ 8. Document ID: US 5965525 A

L1: Entry 8 of 25

File: USPT

Oct 12, 1999

US-PAT-NO: 5965525

DOCUMENT-IDENTIFIER: US 5965525 A

TITLE: Cyclic peptide antifungal agents

DATE-ISSUED: October 12, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Burkhardt; Frederick J.	Indianapolis	IN		
Debono; Manuel	Indianapolis	IN		
Nissen; Jeffrey S.	Indianapolis	IN		
Turner, Jr.; William W.	Bloomington	IN		

US-CL-CURRENT: 514/11; 530/310, 530/317

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KWIC
Draw Desc	Image									

☐ 9. Document ID: US 5932543 A

L1: Entry 9 of 25

File: USPT

Aug 3, 1999

US-PAT-NO: 5932543

DOCUMENT-IDENTIFIER: US 5932543 A

TITLE: Cyclic peptide antifungal agents and process for preparation thereof

DATE-ISSUED: August 3, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Burkhardt; Frederick J.	Indianapolis	IN		
Debono; Manuel	Indianapolis	IN		
Nissen; Jeffrey S.	Indianapolis	IN		
Turner, Jr.; William W.	Bloomington	IN		

US-CL-CURRENT: 514/11; 514/2, 514/9, 530/317

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

KMIC

☐ 10. Document ID: US 5786325 A

L1: Entry 10 of 25

File: USPT

Jul 28, 1998

US-PAT-NO: 5786325

DOCUMENT-IDENTIFIER: US 5786325 A

TITLE: Cyclic peptide antifungal agents and methods of making and using

DATE-ISSUED: July 28, 1998

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Borromeo; Peter S.	Fishers	IN		
Turner, Jr.; William W.	Bloomington	IN		

US-CL-CURRENT: 514/11; 514/2, 514/9, 530/317, 930/270

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

KMIC

☐ 11. Document ID: US 5696084 A

L1: Entry 11 of 25

File: USPT

Dec 9, 1997

US-PAT-NO: 5696084

DOCUMENT-IDENTIFIER: US 5696084 A

TITLE: Amino-lipopeptide antifungal agents

DATE-ISSUED: December 9, 1997

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Lartey; Paul A.	Wadsworth	IL		
Li; Leping	Gurnee	IL		
Klein; Larry Lewis	Lake Forest	IL		
Leone; Christina Louise	Kenosha	WI		
Thomas; Sheela Albert	Vernon Hills	IL		
Yeung; Ming Clinton	Grayslake	IL		
Degoey; David Allen	Kenosha	WI		
Grampovnik; David J.	Waukegan	IL		

US-CL-CURRENT: 514/9; 530/317

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

KVMC

☐ 12. Document ID: US 5693611 A

L1: Entry 12 of 25

File: USPT

Dec 2, 1997

US-PAT-NO: 5693611

DOCUMENT-IDENTIFIER: US 5693611 A

TITLE: Cyclic peptide antifungal agents

DATE-ISSUED: December 2, 1997

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Henle; Stacy Kay	Indianapolis	IN		
Turner; William Wilson	Bloomington	IN		

US-CL-CURRENT: 514/9; 564/158, 564/171

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

KVMC

☐ 13. Document ID: US 5677423 A

L1: Entry 13 of 25

File: USPT

Oct 14, 1997

US-PAT-NO: 5677423

DOCUMENT-IDENTIFIER: US 5677423 A

TITLE: Process for performing retro-aldol reaction

DATE-ISSUED: October 14, 1997

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Rodriguez; Michael J.	Indianapolis	IN		

US-CL-CURRENT: 530/345; 530/300, 530/317, 530/402, 530/406, 560/53, 562/463, 562/577, 564/169, 564/199, 568/308, 568/414

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

KVMC

☐ 14. Document ID: US 5652213 A

L1: Entry 14 of 25

File: USPT

Jul 29, 1997

US-PAT-NO: 5652213

DOCUMENT-IDENTIFIER: US 5652213 A

TITLE: Cyclic peptide antifungal agents

DATE-ISSUED: July 29, 1997

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Jamison; James A.	Indianapolis	IN		
Rodriguez; Michael J.	Indianapolis	IN		
LaGrandeur; Lisa M. H.	Tucson	AZ		
Turner; William W.	Bloomington	IN		
Zweifel; Mark J.	Indianapolis	IN		

US-CL-CURRENT: 514/11; 514/9, 530/317

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

KWMC

☐ 15. Document ID: US 5646111 A

L1: Entry 15 of 25

File: USPT

Jul 8, 1997

US-PAT-NO: 5646111

DOCUMENT-IDENTIFIER: US 5646111 A

TITLE: Cyclic peptide antifungal Agents

DATE-ISSUED: July 8, 1997

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Borromeo; Peter S.	Fishers	IN		
Jamison; James A.	Indianapolis	IN		
Rodriguez; Michael J.	Indianapolis	IN		
Turner; William W.	Bloomington	IN		
Vasudevan; Venkatraghaven	Indianapolis	IN		

US-CL-CURRENT: 514/11; 514/9, 530/317

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

KWMC

☐ 16. Document ID: US 5629290 A

L1: Entry 16 of 25

File: USPT

May 13, 1997

US-PAT-NO: 5629290

DOCUMENT-IDENTIFIER: US 5629290 A

TITLE: Cyclic peptide antifungal agents

DATE-ISSUED: May 13, 1997

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
LaGrandeur; Lisa M. H.	Tucson	AZ		
Rodriguez; Michael J.	Indianapolis	IN		
Zweifel; Mark J.	Indianapolis	IN		

US-CL-CURRENT: 514/11; 424/93.5, 514/9, 530/317

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

KMC

☐ 17. Document ID: US 5629289 A

L1: Entry 17 of 25

File: USPT

May 13, 1997

US-PAT-NO: 5629289

DOCUMENT-IDENTIFIER: US 5629289 A

TITLE: Cyclic peptide antifungal agents

DATE-ISSUED: May 13, 1997

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Rodriguez; Michael J.	Indianapolis	IN		

US-CL-CURRENT: 514/11; 514/9, 530/317, 530/318

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

KMC

☐ 18. Document ID: US 5618787 A

L1: Entry 18 of 25

File: USPT

Apr 8, 1997

US-PAT-NO: 5618787

DOCUMENT-IDENTIFIER: US 5618787 A

TITLE: Cyclic peptide antifungal agents

DATE-ISSUED: April 8, 1997

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Jamison; James A.	Indianapolis	IN		
Rodriguez; Michael J.	Indianapolis	IN		

US-CL-CURRENT: 514/11; 424/93.5, 514/9, 530/317

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

KMC

☐ 19. Document ID: US 5387670 A

L1: Entry 19 of 25

File: USPT

Feb 7, 1995

US-PAT-NO: 5387670

DOCUMENT-IDENTIFIER: US 5387670 A

TITLE: Antibiotic, deoxymulundocandin, a process for its production and its use as medicament

DATE-ISSUED: February 7, 1995

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Roy; Kurity	Bombay			IN
Mukhopadhyay; Triptikumar	Bombay			IN
Fehlhaber; Hans-Wolfram	Idstein			DE
Kogler; Herbert	Kelkheim			DE
Ganguli; Bimal N.	Bombay			IN

US-CL-CURRENT: 530/317

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KWIC
Draw Desc	Image									

☐ 20. Document ID: US 5386009 A

L1: Entry 20 of 25

File: USPT

Jan 31, 1995

US-PAT-NO: 5386009

DOCUMENT-IDENTIFIER: US 5386009 A

TITLE: Lipopeptide derivatives

DATE-ISSUED: January 31, 1995

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Hammond; Milton L.	Somerville	NJ		
Schwartz; Robert E.	Westfield	NJ		
Balkovec; James M.	North Plainfield	NJ		

US-CL-CURRENT: 530/317

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KWIC
Draw Desc	Image									

Generate Collection

Print

Terms	Documents
mulundocandin	25

Display Format:

-

Change Format

[Previous Page](#)

[Next Page](#)

WEST[Help](#)[Logout](#)[Interrupt](#)[Main Menu](#)[Search Form](#)[Posting Counts](#)[Show S Numbers](#)[Edit S Numbers](#)[Preferences](#)[Cases](#)**Search Results -**

Terms	Documents
mulundocandin	25

Database:

US Patents Full-Text Database	▲
US Pre-Grant Publication Full-Text Database	
JPO Abstracts Database	
EPO Abstracts Database	
Derwent World Patents Index	
IBM Technical Disclosure Bulletins	▼

Search:

L1

[Refine Search](#)[Recall Text](#)[Clear](#)**Search History**DATE: Friday, November 29, 2002 [Printable Copy](#) [Create Case](#)

<u>Set Name</u> side by side	<u>Query</u>	<u>Hit Count</u>	<u>Set Name</u> result set
<i>DB=USPT,PGPB,JPAB,EPAB,DWPI; PLUR=YES; OP=OR</i>			
<u>L1</u>	mulundocandin	25	<u>L1</u>

END OF SEARCH HISTORY

WEST**End of Result Set**

Generate Collection

Print

L4: Entry 2 of 2

File: USPT

Nov 27, 2001

DOCUMENT-IDENTIFIER: US 6323176 B1
TITLE: Cyclic peptide antifungal agents

Brief Summary Text (4):

A number of naturally occurring cyclic peptides are known in the art including echinocandin B (A30912A), aculeacin, mulundocandin, sporiofungin, L-671,329, and S31794/F1. In general, these cyclic peptides may be structurally characterized as a cyclic hexapeptide core (or nucleus) with an acylated amino group on one of the core amino acids. This acyl group is typically a fatty acid moiety forming a side chain off the nucleus. For example, echinocandin B has a linoleoyl side chain while aculeacin has a palmitoyl side chain.

Brief Summary Text (90):

A naturally occurring cyclic peptide of formula II(a) may be deacylated using procedures known in the art to provide an amino nucleus of formula II(b). This reaction is typically carried out enzymatically by exposing the naturally occurring cyclic peptide to a deacylase enzyme. The deacylase enzyme may be obtained from the microorganism *Actinoplanes utahensis* and used substantially as described in U.S. Pat. Nos. 4,293,482 and 4,304,716, the teachings of each are herein incorporated by reference. The deacylase enzyme may also be obtained from the *Pseudomonas* species. Deacylation may be accomplished using whole cells of *Actinoplanes utahensis* or *Pseudomonas* or the crude or purified enzyme thereof or using an immobilized form of the enzyme. See European Patent Application No. 0 460 882 (Dec. 11, 1991). Examples of naturally occurring cyclic peptides which may be used as starting materials include aculeacin (palmitoyl side chain), tetrahydroechinocandin B (stearoyl side chain), mulundocandin (branched C.sub.15 side chain), L-671,329 (C.sub.16 branched side chain), S 31794/F1 (tetradecanoyl side chain), sporiofungin (C.sub.15 branched side chain), FR901379 (palmitoyl side chain) and the like. A preferred naturally occurring cyclic peptide is echinocandin B (a compound of formula II(a) where R.sup.1, R.sup.2 and R.sup.3 are each methyl, R, R.sup.11', and R.sup.11' are hydroxy at each occurrence, and R.sup.nat is linoleoyl).

Brief Summary Text (97):

A commercially available compound of formula VI may have its hydroxy group(s) activated for nucleophilic displacement by standard techniques known in the art. For example, the hydroxy group can be sulfonylated with methane-benzene-, or p-toluene-sulfonyl chloride (or bromide) to provide a compound of formula VII where Lg is OSO.sub.2 Me, OSO.sub.2 -phenyl, or OSO.sub.2 -p-toluenyl. An example of this transformation is illustrated in Preparation 1 below. At this point, the leaving group can be displaced by azide ion, e.g., from sodium or potassium azide as in Preparation 2. Alternatively, the leaving group can be displaced by iodide ion from, e.g., sodium or potassium iodide as in Preparation 3. The resulting compound of formula VIII may be reduced to form a compound of formula IX where one or more of R.sup.7, R.sup.7', or R.sup.7" is amino or hydrogen by catalytic hydrogenation or with a reducing agent such as nickel chloride hexahydrate as described in Preparation 4 and Example 41 below. It is preferred that when an amino group is desired in the final product compound of formula I, that any azido groups be converted to amino groups after coupling to the compound of formula II(a).

Detailed Description Text (65):

A 25 mL round bottom flask was charged with the compound of Example 34 (52.5 mg, 0.04 mmol) and nickel chloride hexahydrate (54.5 mg, 0.229 mmol) in 5 mL of anhydrous methanol at 0.degree. C. Sodium borohydride (27.1 mg, 0.72 mmol) was then added to the reaction mixture. The reaction was raised to room temperature and allowed to stir for 2 hours. The reaction was quenched with 2 drops of 1M aqueous hydrochloric acid. The

reaction mixture was filtered and the product was isolated via reverse phase HPLC to yield 20.6 mg of the title compound as a white solid. (40.0%). HRMS(FAB) calculated for C.sub.64 H.sub.85 N.sub.8 O.sub.19 (M+H): 1269.5949. Found: 1269.5931.

WEST[Generate Collection](#)[Print](#)**Search Results - Record(s) 1 through 2 of 2 returned.**☐ 1. Document ID: US 5684128 A

L7: Entry 1 of 2

File: USPT

Nov 4, 1997

US-PAT-NO: 5684128

DOCUMENT-IDENTIFIER: US 5684128 A

TITLE: Process for preparing side chain derivatives of cyclohexapeptidyl lipopeptides

DATE-ISSUED: November 4, 1997

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Balkovec; James M.	North Plainfield	NJ		
Bouffard; Frances A.	Scotch Plains	NJ		
Dropinski; James F.	Piscataway	NJ		
Adefarati; Akinlolu A.	Woodbridge	NJ		
Tkacz; Jan S.	Piscataway	NJ		

US-CL-CURRENT: 530/317

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KWIC
Draw Desc	Image									

☐ 2. Document ID: US 5646245 A

L7: Entry 2 of 2

File: USPT

Jul 8, 1997

US-PAT-NO: 5646245

DOCUMENT-IDENTIFIER: US 5646245 A

TITLE: Process for preparing side chain derivatives of cyclohexapeptidyl lipopeptides

DATE-ISSUED: July 8, 1997

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Balkovec; James M.	North Plainfield	NJ	07063	
Bouffard; Frances A.	Scotch Plains	NJ	07076	
Dropinski; James F.	Piscataway	NJ	08854	
Adefarati; Akinlolu A.	Woodbridge	NJ	07095	
Tkacz; Jan S.	Piscataway	NJ	08854	

US-CL-CURRENT: 530/317; 930/270, 930/DIG.546, 930/DIG.548

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Drawn Desc	Image								

KVMC

[Generate Collection](#)[Print](#)

Terms	Documents
antibiotic and lipopeptide and peptides and raney and nickel	2

Display Format:

-

[Change Format](#)[Previous Page](#)[Next Page](#)

WEST**End of Result Set**

Generate Collection

Print

L7: Entry 2 of 2

File: USPT

Jul 8, 1997

DOCUMENT-IDENTIFIER: US 5646245 A

TITLE: Process for preparing side chain derivatives of cyclohexapeptidyl lipopeptidesAbstract Text (1):

An improved process for the preparation of side chain derivatives of cyclohexapeptidyl lipopeptides represented by the formula ##STR1## wherein R.sup.1 is fully defined, is disclosed.

Brief Summary Text (2):

The present invention is directed to an improved process for the preparation of side chain derivatives of certain amine-containing cyclohexapeptidyl lipopeptides. These side chains are attached at the .alpha.-amino-nitrogen of the 1-[hydroxyornithine] residue of the cyclohexapeptide which can be represented by the formula (SEQ ID NO. 1) ##STR2## wherein R.sup.1 is hereinafter fully defined.

Brief Summary Text (3):

Previously, side chain derivatives of these amine-containing lipopeptides have been prepared via a deacylation-reacylation sequence followed by the chemical conversion of the 3-hydroxyglutamine residue to a 3-hydroxyornithine residue. This scheme, however, provides very low yields and requires optimization for each derivative.

Brief Summary Text (29):

Other hydride reducing agents such as Raney-nickel, sodium cyanoborohydride, aluminum hydride, diborane, diisobutyl aluminum hydride and the like also may be used. Frequently these reducing agents are used in combination with a Lewis acid such as cobaltous chloride or aluminum chloride as in the present combination of sodium borohydride and cobaltous chloride.

Brief Summary Text (41):

The compounds produced by the process of the invention are useful as an antibiotic, especially as an antifungal or antiprotozoal agent. As antifungal agents they are useful for the control of both filamentous fungi and yeasts. They are especially adaptable to be employed for the treatment of mycotic infections in mammals, especially those caused by Candida species such as C. albicans, C. tropicalis and C. pseudotropicalis, Cryptococcus species such as C. neoformans and Aspergillus species such as A. fumigatus, A. flavus, A. niger. They are also useful for the treatment and/or prevention of Pneumocystis carinii pneumonia to which immune compromised patients are especially susceptible.

Detailed Description Text (10):

Purification of Compound C began by the addition of 45 mL of 10% aqueous trifluoroacetic acid to 900 mL of the supernatant obtained above. The solution was filtered to remove particulate matter then purified by reverse phase chromatography (DELTA PAK C-18, 45.times.300 mm radial-pack column packed in 100% water containing 0.1% trifluoroacetic acid, 50 mL/min, .lambda.=230 nm). The appropriate fractions, as determined by analytical HPLC (ZORBAX Rx-C18, 2.5% aqueous acetonitrile/0.1% trifluoroacetic acid, 1 mL/min, .lambda.=210 nm), were pooled and lyophilized. An identical purification on the remaining 900 mL of supernatant gave material that was combined with material from the first purification to give a total of 1.3 g of deacylated lipopeptide. FAB-MS (M+H) m/z 856; .sup.1 H NMR (400 MHz, CD.sub.3 OD) .delta.7.12 (d), 6.77 (d), 5.23 (d), 5.02 (d), 3.17 (m), 3.05 (t), 1.29 (d).

Detailed Description Paragraph Table (1):

SEQUENCE

LISTING (1) GENERAL INFORMATION: (iii) NUMBER OF SEQUENCES: 6 (2) INFORMATION FOR SEQ ID NO:1: (i) SEQUENCE CHARACTERISTICS: (A) LENGTH: 6 amino acids (B) TYPE: amino acid (C) STRANDEDNESS: unknown (D) TOPOLOGY: circular (ii) MOLECULE TYPE: peptide (iii) HYPOTHETICAL: NO (iv) ANTI-SENSE: NO (xi) SEQUENCE DESCRIPTION: SEQ ID NO:1: XaaThrXaaXaaXaaXaa 15 (2) INFORMATION FOR SEQ ID NO:2: (i) SEQUENCE CHARACTERISTICS: (A) LENGTH: 6 amino acids (B) TYPE: amino acid (C) STRANDEDNESS: unknown (D) TOPOLOGY: circular (ii) MOLECULE TYPE: peptide (iii) HYPOTHETICAL: NO (iv) ANTI-SENSE: NO (xi) SEQUENCE DESCRIPTION: SEQ ID NO:2: XaaThrXaaXaaXaaXaa 15 (2) INFORMATION FOR SEQ ID NO:3: (i) SEQUENCE CHARACTERISTICS: (A) LENGTH: 6 amino acids (B) TYPE: amino acid (C) STRANDEDNESS: unknown (D) TOPOLOGY: circular (ii) MOLECULE TYPE: peptide (iii) HYPOTHETICAL: NO (iv) ANTI-SENSE: NO (xi) SEQUENCE DESCRIPTION: SEQ ID NO:3: XaaThrXaaXaaXaaXaa 15 (2) INFORMATION FOR SEQ ID NO:4: (i) SEQUENCE CHARACTERISTICS: (A) LENGTH: 6 amino acids (B) TYPE: amino acid (C) STRANDEDNESS: unknown (D) TOPOLOGY: circular (ii) MOLECULE TYPE: peptide (iii) HYPOTHETICAL: NO (iv) ANTI-SENSE: NO (xi) SEQUENCE DESCRIPTION: SEQ ID NO:4: XaaThrXaaXaaXaaXaa 15 (2) INFORMATION FOR SEQ ID NO:5: (i) SEQUENCE CHARACTERISTICS: (A) LENGTH: 6 amino acids (B) TYPE: amino acid (C) STRANDEDNESS: unknown (D) TOPOLOGY: circular (ii) MOLECULE TYPE: peptide (iii) HYPOTHETICAL: NO (iv) ANTI-SENSE: NO (xi) SEQUENCE DESCRIPTION: SEQ ID NO:5: XaaThrXaaXaaXaaXaa 15 (2) INFORMATION FOR SEQ ID NO:6: (i) SEQUENCE CHARACTERISTICS: (A) LENGTH: 6 amino acids (B) TYPE: amino acid (C) STRANDEDNESS: unknown (D) TOPOLOGY: circular (ii) MOLECULE TYPE: peptide (iii) HYPOTHETICAL: NO (iv) ANTI-SENSE: NO (xi) SEQUENCE DESCRIPTION: SEQ ID NO:6: XaaThrXaaXaaXaaXaa 15

Other Reference Publication (1):

Schwartz, et al. J. Antibiotics, 45(12), pp. 1853-1866 (1992).

WEST

Generate Collection

Print

L7: Entry 1 of 2

File: USPT

Nov 4, 1997

DOCUMENT-IDENTIFIER: US 5684128 A

TITLE: Process for preparing side chain derivatives of cyclohexapeptidyl lipopeptidesAbstract Text (1):

An improved process for the preparation of side chain derivatives of cyclohexapeptidyl lipopeptides represented by the formula ##STR1## wherein R.sup.1 is fully defined, is disclosed.

Brief Summary Text (2):

The present invention is directed to an improved process for the preparation of side chain derivatives of certain amine-containing cyclohexapeptidyl lipopeptides. These side chains are attached at the .alpha.-amino-nitrogen of the 1-[hydroxyornithine] residue of the cyclohexapeptide which can be represented by the formula (SEQ ID NO. 1) ##STR2## wherein R.sup.1 is hereinafter fully defined.

Brief Summary Text (3):

Previously, side chain derivatives of these amine-containing lipopeptides have been prepared via a deacylation-reacylation sequence followed by the chemical conversion of the 3-hydroxyglutamine residue to a 3-hydroxyornithine residue. This scheme, however, provides very low yields and requires optimization for each derivative.

Detailed Description Text (18):

Other hydride reducing agents such as Raney nickel, sodium cyanoborohydride, aluminum hydride, diborane, diisobutyl aluminum hydride and the like also may be used. Frequently these reducing agents are used in combination with a Lewis acid such as cobaltous chloride or aluminum chloride as in the present combination of sodium borohydride and cobaltous chloride.

Detailed Description Text (30):

The compounds produced by the process of the invention are useful as an antibiotic, especially as an antifungal or antiprotozoal agent. As antifungal agents they are useful for the control of both filamentous fungi and yeasts. They are especially adaptable to be employed for the treatment of mycotic infections in mammals, especially those caused by Candida species such as C. albicans, C. tropicalis and C. pseudotropicalis, Cryptococcus species such as C. neoformans and Aspergillus species such as A. fumigatus, A. flayus, A. niger. They are also useful for the treatment and/or prevention of Pneumocystis carinii pneumonia to which immune compromised patients are especially susceptible.

Detailed Description Text (38):

Purification of Compound C began by the addition of 45 mL of 10% aqueous trifluoroacetic acid to 900 mL of the supernatant obtained above. The solution was filtered to remove particulate matter then purified by reverse phase chromatography (DELTA PAK C-18, 45.times.300 mm radial-pack column packed in 100% water containing 0.1% trifluoroacetic acid, 50 mL/min, .lambda.=230 nm). The appropriate fractions, as determined by analytical HPLC (ZORBAX Rx-C18, 2.5% aqueous acetonitrile/0.1% trifluoroacetic acid, 1 mL/min, .lambda.=210 nm), were pooled and lyophilized. An identical purification on the remaining 900 mL of supernatant gave material that was combined with material from the first purification to give a total of 1.3 g of deacylated lipopeptide. FAB-MS (M+H) m/z 856; .sup.1 H NMR (400 MHz, CD.sub.3 OD) .delta.7.12 (d), 6.77 (d), 5.23 (d), 5.02 (d), 3.17 (m), 3.05 (t), 1.29 (d).

Detailed Description Paragraph Table (1):

SEQUENCE

LISTING (1) GENERAL INFORMATION: (iii) NUMBER OF SEQUENCES: 6 (2) INFORMATION FOR SEQ

ID NO:1: (i) SEQUENCE CHARACTERISTICS: (A) LENGTH: 6 amino acids (B) TYPE: amino acid (C) STRANDEDNESS: unknown (D) TOPOLOGY: circular (ii) MOLECULE TYPE: peptide (iii) HYPOTHETICAL: NO (iv) ANTI-SENSE: NO (xi) SEQUENCE DESCRIPTION: SEQ ID NO:1: XaaThrXaaXaaXaaXaa 15 (2) INFORMATION FOR SEQ ID NO:2: (i) SEQUENCE CHARACTERISTICS: (A) LENGTH: 6 amino acids (B) TYPE: amino acid (C) STRANDEDNESS: unknown (D) TOPOLOGY: circular (ii) MOLECULE TYPE: peptide (iii) HYPOTHETICAL: NO (iv) ANTI-SENSE: NO (xi) SEQUENCE DESCRIPTION: SEQ ID NO:2: XaaThrXaaXaaXaaXaa 15 (2) INFORMATION FOR SEQ ID NO:3: (i) SEQUENCE CHARACTERISTICS: (A) LENGTH: 6 amino acids (B) TYPE: amino acid (C) STRANDEDNESS: unknown (D) TOPOLOGY: circular (ii) MOLECULE TYPE: peptide (iii) HYPOTHETICAL: NO (iv) ANTI-SENSE: NO (xi) SEQUENCE DESCRIPTION: SEQ ID NO:3: XaaThrXaaXaaXaaXaa 15 (2) INFORMATION FOR SEQ ID NO:4: (i) SEQUENCE CHARACTERISTICS: (A) LENGTH: 6 amino acids (B) TYPE: amino acid (C) STRANDEDNESS: unknown (D) TOPOLOGY: circular (ii) MOLECULE TYPE: peptide (iii) HYPOTHETICAL: NO (iv) ANTI-SENSE: NO (xi) SEQUENCE DESCRIPTION: SEQ ID NO:4: XaaThrXaaXaaXaaXaa 15 (2) INFORMATION FOR SEQ ID NO:5: (i) SEQUENCE CHARACTERISTICS: (A) LENGTH: 6 amino acids (B) TYPE: amino acid (C) STRANDEDNESS: unknown (D) TOPOLOGY: circular (ii) MOLECULE TYPE: peptide (iii) HYPOTHETICAL: NO (iv) ANTI-SENSE: NO (xi) SEQUENCE DESCRIPTION: SEQ ID NO:5: XaaThrXaaXaaXaaXaa 15 (2) INFORMATION FOR SEQ ID NO:6: (i) SEQUENCE CHARACTERISTICS: (A) LENGTH: 6 amino acids (B) TYPE: amino acid (C) STRANDEDNESS: unknown (D) TOPOLOGY: circular (ii) MOLECULE TYPE: peptide (iii) HYPOTHETICAL: NO (iv) ANTI-SENSE: NO (xi) SEQUENCE DESCRIPTION: SEQ ID NO:6: XaaThrXaaXaaXaaXaa 15

Other Reference Publication (1):

Schwartz et al, The Journal of Antibiotics, vol. 45(12), pp. 1853-1866, (Dec., 1992).

WEST[Help](#)[Logout](#)[Interrupt](#)[Main Menu](#)[Search Form](#)[Posting Counts](#)[Show S Numbers](#)[Edit S Numbers](#)[Preferences](#)[Cases](#)**Search Results -**

Terms	Documents
antibiotic and lipopeptide and peptides and raney and nickel	2

Database: US Patents Full-Text Database
US Pre-Grant Publication Full-Text Database
JPO Abstracts Database
EPO Abstracts Database
Derwent World Patents Index
IBM Technical Disclosure Bulletins

Search:

L7

[Refine Search](#)[Recall Text](#)[Clear](#)**Search History**DATE: Friday, November 29, 2002 [Printable Copy](#) [Create Case](#)Set Name Query
side by sideHit Count Set Name
result set*DB=USPT,PGPB,JPAB,EPAB,DWPI; PLUR=YES; OP=OR*

<u>L1</u>	mulundocandin	25	<u>L1</u>
<u>L2</u>	mulundocandin and ni	0	<u>L2</u>
<u>L3</u>	mulundocandin and raney	0	<u>L3</u>
<u>L4</u>	mulundocandin and nickel	2	<u>L4</u>
<u>L5</u>	raney and nickel	17314	<u>L5</u>
<u>L6</u>	peptides and raney and nickel	1859	<u>L6</u>
<u>L7</u>	antibiotic and lipopeptide and peptides and raney and nickel	2	<u>L7</u>

END OF SEARCH HISTORY